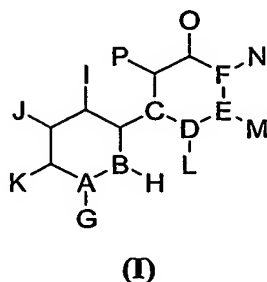


WHAT IS CLAIMED IS:

1. A compound having Formula I:



(I)

wherein A, B, C, D, E and F constitute part of a 3-, 4-, 5- or 6-member ring system of unsaturated, partially unsaturated or saturated heterocyclic and carbocyclic rings, wherein the A, B, C, D, E and F ring system is optionally substituted with hydrido, acyl, halo, lower acyl, lower haloalkyl, oxo, cyano, nitro, carboxyl, amino, lower alkoxy, aminocarbonyl, lower alkoxy carbonyl, alkylamino, arylamino, lower carboxyalkyl, lower cyanoalkyl, lower hydroxyalkyl, alkylthio, alkylsulfinyl, aryl, lower aralkylthio, lower alkylsulfinyl, lower alkylsulfonyl, aminosulfonyl, lower N-arylamino sulfonyl, lower arylsulfonyl, and lower N-alkyl-N-arylamino sulfonyl; wherein aryl of the A, B, C, D, E and F ring system is selected from phenyl, biphenyl, and naphthyl, 5-membered heteroaryl, and 6-membered heteroaryl, and is optionally substituted with one or two substituents selected from the group consisting of halo, hydroxyl, amino, nitro, cyano, carbamoyl, lower alkyl, lower alkenyloxy, lower alkoxy, lower alkylthio, lower alkylsulfinyl, lower alkylsulfonyl, lower alkylamino, lower dialkylamino, lower haloalkyl, lower alkoxy carbonyl, lower N-alkyl carbamoyl, lower N,N-dialkyl carbamoyl, lower alkanoylamino, lower cyanoalkoxy, lower carbamoylalkoxy, and lower carbonylalkoxy; and wherein the acyl group is optionally substituted with a substituent selected from hydrido, alkyl, halo, and alkoxy;

wherein G, H, I, J, K, L, M, N, O and P are independently selected from the group consisting of aminoalkyl, aralkyl, aryl, heteroaryl, heteroaralkyl, heteroaralkyloxy, aroyl, aroylalkyl, aryloxy, aryloxyalkyl, hydrido, alkyl, alkenyl, alkynyl, alkoxy, alkoxyalkyl, acyl, acylalkyl, acyloxy, acyloxyalkyl, halo, haloalkyl, cyano, cyanoalkyl, nitro, nitroalkyl, carboxyl, carboxylalkyl, amino, aminoalkyl, aminocarbonyl, aminocarbonylalkyl, carbamoylalkyl, carbamoylalkoxy, iminoalkyl, imidoalkyl, alkoxy carbonyl, alkoxy carbonylalkyl, alkylamino, alkylaminoalkyl, dialkylamino, dialkylaminoalkyl, arylamino, arylaminoalkyl, hydroxy, hydroxyalkyl, isocyano, isocyanoalkyl, isothiocyano,

isothiocyanoalkyl, oximinoalkoxy, morpholino, morpholinoalkyl, azido, azidoalkyl, formyl, formylalkyl, alkylthio, alkylthioalkyl, alkylsulfinyl, alkylsulfinylalkyl, alkylsulfonyl, alkylsulfonylalkyl, aminosulfonyl, arylsulfonyl, N-alkyl-N-arylaminosulfonyl; wherein the aryl of G, H, I, J, K, L M, N, O and/or P is optionally substituted and is selected from the group consisting of phenyl, biphenyl, naphthyl, 5-membered heteroaryl, and 6-membered heteroaryl.

2. The compound of claim 1, wherein the heterocycles which make up the central ring systems of formula I comprising the A, B, C, D, E and F atoms are selected from the group consisting of pyrrolidine, piperidine, piperazine, heptamethyleneimine, hexamethyleneimine, homopiperazine, perhydroindole, azetidine, 4-piperidinopiperidine, 1-azacycloheptane, imidazolyl, perhydroisoquinoline, decahydroquinoline, 1-phenylpiperazine, 4-phenylpiperidine, 1-(fluorophenyl)piperazine, 1,3,5-hexa-hydrotriazine, morpholine, phenylmorpholine, thiomorpholine, tetrahydrothiophene, thiazolidine,  $\omega$ -thiocaprolactam, 1,4-thioxane, 1,3-dithiane, 1,4,7-trithiacyclononane, 1,3,5-trithiane, tetrahydrofuran, tetramethyleneoxide, tetrahydropyran, 1,3,5-trioxane, and oxepane,

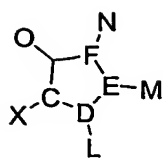
wherein the heterocycles optionally have one or two ring hydrogens substituted with substituents selected from the group consisting of Cl, Br, I, -OR<sub>4</sub>, -R<sub>5</sub>, -OC(O)R<sub>6</sub>, OC(O)NR<sub>7</sub>R<sub>8</sub>, -C(O)R<sub>9</sub>, -CN, -NR<sub>10</sub>R<sub>11</sub>, -SR<sub>12</sub>, -S(O)R<sub>11</sub>, -S(O)<sub>2</sub>R<sub>14</sub>, -C(O)OR<sub>15</sub>, -S(O)<sub>2</sub>NR<sub>16</sub>R<sub>17</sub>; and -R<sub>18</sub>NR<sub>19</sub>R<sub>20</sub>, wherein R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub>, R<sub>11</sub>, R<sub>12</sub>, R<sub>13</sub>, R<sub>14</sub>, R<sub>15</sub>, R<sub>16</sub>, R<sub>17</sub>, R<sub>18</sub>, R<sub>19</sub>, and R<sub>20</sub> are the same or different and are branched or unbranched alkyl groups from one to eight carbon atoms or hydrogen radicals.

3. The compound of claim 1, wherein the A B, C, D, E and F ring system is a radical selected from pyranlyl, furyl, tetrahydrofuryl, tetrahydrothienyl, thienyl, oxazolyl, pyrrolyl, thiazolyl, imidazolyl, isothiazolyl, isoxazolyl, pyrazolyl, cyclopropyl, cyclobutyl, cyclopentyl, phenyl, and pyridyl.

4. The compound of claim 1, wherein two adjacent groups selected from G, H, I, J, K, L M, N, O and P are joined together to form a part of a fused carbocyclic or heterocyclic ring system.

5. The compound of claim 4, wherein L and M are part of a second ring that is fused to the C, D, E, F ring.

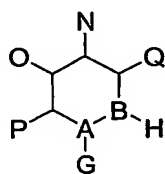
6. The compound of claim 1 having Formula II:



(II)

wherein C, D, E and F constitute a 5-membered ring containing carbon, oxygen, sulfur, nitrogen, or phosphorus; X is the A, B ring system defined as in claim 1; and L, M, N, and O are defined as in claim 1.

7. The compound of claim 6, wherein C is a carbon; D is an oxygen, sulfur, or nitrogen; E is a carbon; and L, M, N, O and X are independently selected from aminoalkyl, alkylaminoalkyl, arylaminoalkyl, dialkylaminoalkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, alkyl, haloalkyl, cyanoalkyl, iminoalkyl, imidoalkyl, isothiocyanoalkyl, morpholinoalkyl, azidoalkyl, and formylalkyl.
8. The compound of claim 7 which is C-(5-pyridin-3-yl-tetrahydro-furan-2-yl)-methylamine, 3-(5-bromomethyl-tetrahydro-furan-2-yl)-pyridine, 3-(5-ethyl-tetrahydro-furan-2-yl)-pyridine, or (5-pyridin-3-yl-tetrahydro-furan-2-yl)-methanol.
9. The compound of claim 1 having Formula III:



(III)

wherein A, B, G, H, N, O and P are defined as in claim 1.

10. The compound of claim 9, wherein A is a nitrogen, B is a carbon, and Q is a 5- or 6-member unsaturated, partially unsaturated or saturated heterocyclic and carbocyclic ring,

wherein the ring system is optionally substituted with a group selected from the group consisting of hydrido, acyl, halo, lower acyl, lower haloalkyl, oxo, cyano, nitro,

carboxyl, amino, lower alkoxy, aminocarbonyl, lower alkoxy carbonyl, alkylamino, arylamino, lower carboxyalkyl, lower cyanoalkyl, lower hydroxyalkyl, alkylthio, alkylsulfinyl, aryl, lower aralkylthio, lower alkylsulfinyl, lower alkylsulfonyl, aminosulfonyl, lower N-arylaminosulfonyl, lower arylsulfonyl, and lower N-alkyl-N-arylaminosulfonyl;

5                    wherein aryl is selected from the group consisting of phenyl, biphenyl, naphthyl, 5-membered heteroaryl, and 6-membered heteroaryl, and wherein aryl is optionally substituted with one or two substituents selected from the group consisting of halo, hydroxyl, amino, nitro, cyano, carbamoyl, lower alkyl, lower alkenyloxy, lower alkoxy, lower alkylthio, lower alkylsulfinyl, lower alkylsulfonyl, lower alkylamino, lower dialkylamino, lower  
10 haloalkyl, lower alkoxy carbonyl, lower N-alkylcarbamoyl, lower N,N-dialkylcarbamoyl, lower alkanoylamino, lower cyanoalkoxy, lower carbamoylalkoxy, lower carbonylalkoxy; and

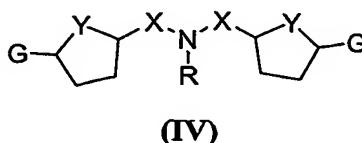
                  wherein the acyl group is optionally substituted with a substituent selected from the group consisting of hydrido, alkyl, halo, and alkoxy.

15                    11.     The compound of claim 9, wherein the Q ring is a substituted or unsubstituted radical selected from the group consisting of pyrrolyl, N-methylpyrrolyl, pyranlyl, furyl, tetrahydrofuryl, tetrahydrothienyl, thienyl, oxazolyl, pyrrolyl, thiazolyl, imidazolyl, isothiazolyl, isoxazolyl, pyrazolyl, cyclopropyl, cyclobutyl, cyclopentyl, phenyl, and pyridyl; and optionally has one or two ring hydrogens substituted with substituents  
20 selected from the group consisting of Cl, Br, I, -OR<sub>4</sub>, -R<sub>5</sub>, -OC(O)R<sub>6</sub>, OC(O)NR<sub>7</sub>R<sub>8</sub>, -C(O)R<sub>9</sub>, -CN, -NR<sub>10</sub>R<sub>11</sub>, -SR<sub>12</sub>, -S(O)R<sub>11</sub>, -S(O)<sub>2</sub>R<sub>14</sub>, -C(O)OR<sub>15</sub>, -S(O)<sub>2</sub>NR<sub>16</sub>R<sub>17</sub>; and -R<sub>18</sub>NR<sub>19</sub>R<sub>20</sub>, wherein R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub>, R<sub>11</sub>, R<sub>12</sub>, R<sub>13</sub>, R<sub>14</sub>, R<sub>15</sub>, R<sub>16</sub>, R<sub>17</sub>, R<sub>18</sub>, R<sub>19</sub>, and R<sub>20</sub> are the same or different and are branched or unbranched alkyl groups from one to eight carbon atoms or hydrogen radicals.

25                    12.     The compound of claim 10 which is 3-(4-methyl-thiophen-3-yl)-pyridine, 3-(1H-imidazol-4-yl)-pyridine, 3-pyrazol-1-yl-pyridine, 3-thiophen-2-yl-pyridine, [3,3']bipyridinyl, or 3-thiazol-2-yl-pyridine.

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13. The compound of claim 1 having Formula IV:

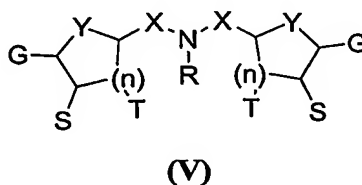


wherein G is the A, B ring system defined as in claim 1; X is a saturated carbon chain from C<sub>2</sub>-C<sub>8</sub>; Y is a carbon, oxygen, sulfur, nitrogen or phosphorus atom; and R is alkyl, akenyl, alkynyl, optionally substituted aryl, or aralkyl.

- 5                    14. The compound of claim 13, wherein X is ethylene; Y is oxygen, sulfur, or nitrogen; R is hydrogen; and G is 3-pyridyl.

15. The compound of claim 13, which is bis-[2-(5-pyridin-3-yl-tetrahydrofuran-2-yl)-ethyl]-amine.

16. The compound of claim 1 having Formula V:



- 10                    wherein G is the A, B ring system defined as in claim 1, X is a saturated carbon chain from C<sub>2</sub>-C<sub>8</sub>; R is hydrogen, alkyl, akenyl, alkynyl, optionally substituted aryl, or aralkyl; and S and T are independently selected from the group consisting of hydrogen, aminoalkyl, aralkyl, aryl, heteroaryl, heteroaralkyl, heteroaralkyloxy, aroyl, aroylalkyl, aryloxy, aryloxyalkyl, hydrido, alkyl, alkenyl, alkynyl, alkoxy, alkoxyalkyl, acyl, acylalkyl, acyloxy, acyloxyalkyl, halo, haloalkyl, cyano, cyanoalkyl, nitro, nitroalkyl, carboxyl, carboxylalkyl, amino, aminoalkyl, aminocarbonyl, aminocarbonylalkyl, carbamoylalkyl, carbamoylalkoxy, iminoalkyl, imidoalkyl, alkoxycarbonyl, alkoxycarbonylalkyl, alkylamino, alkylaminoalkyl, dialkylamino, dialkylaminoalkyl, arylamino, arylaminoalkyl, hydroxy, hydroxyalkyl, isocyano, isocyanoalkyl, isothiocyano, isothiocyanoalkyl, oximinoalkoxy, morpholino, morpholinoalkyl, azido, azidoalkyl, formyl, formylalkyl, alkylthio,
- 15
- 20

alkylthioalkyl, alkylsulfinyl, alkylsulfinylalkyl, alkylsulfonyl, alkylsulfonylalkyl, aminosulfonyl, arylsulfonyl, and N-alkyl-N-arylamino sulfonyl;

wherein the aryl of S and/or T is optionally substituted and is selected from the group consisting of phenyl, biphenyl, naphthyl, 5-membered heteroaryl, and 6-membered heteroaryl.

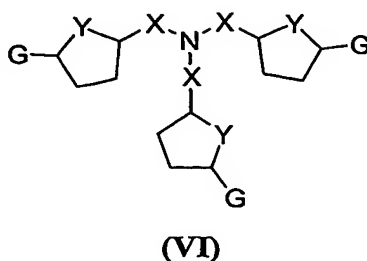
17. The compound of claim 16, wherein the heterocycles which make up the Y ring system of Formula V including the S and T substituents are independently selected from the group consisting of pyrrolidine, piperidine, piperazine, heptamethyleneimine, hexamethyleneimine, homopiperazine, perhydroindole, azetidine, 4-piperidinopiperidine, 1-azacycloheptane, imidazolyl, perhydroisoquinoline, decahydroquinoline, 1-phenylpiperazine, 4-phenylpiperidine, 1-(fluorophenyl)piperazine, 1,3,5-hexa-hydrotriazine, morpholine, phenylmorpholine, thiomorpholine, tetrahydrothiophene, thiazolidine,  $\omega$ -thiocaprolactam, 1,4-thioxane, 1,3-dithiane, 1,4,7-trithiacyclononane, 1,3,5-trithiane, tetrahydrofuran, tetramethyleneoxide, tetrahydropyran, 1,3,5-trioxane, and oxepane, and optionally have one or two ring hydrogens substituted with substituents selected from the group consisting of Cl, Br, I, -OR<sub>4</sub>, -R<sub>5</sub>, -OC(O)R<sub>6</sub>, OC(O)NR<sub>7</sub>R<sub>8</sub>, -C(O)R<sub>9</sub>, -CN, -NR<sub>10</sub>R<sub>11</sub>, -SR<sub>12</sub>, -S(O)R<sub>11</sub>, -S(O)<sub>2</sub>R<sub>14</sub>, -C(O)OR<sub>15</sub>, -S(O)<sub>2</sub>NR<sub>16</sub>R<sub>17</sub>; and -R<sub>18</sub>NR<sub>19</sub>R<sub>20</sub>, wherein R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub>, R<sub>11</sub>, R<sub>12</sub>, R<sub>13</sub>, R<sub>14</sub>, R<sub>15</sub>, R<sub>16</sub>, R<sub>17</sub>, R<sub>18</sub>, R<sub>19</sub>, and R<sub>20</sub> are the same or different and are branched or unbranched alkyl groups from one to eight carbon atoms or hydrogen radicals.

18. The compound of claim 16, wherein the Y ring system is a radical selected from the group consisting of pyranyl, furyl, tetrahydrofuryl, tetrahydrothienyl, thienyl, oxazolyl, pyrolyl, thiazolyl, imidazolyl, isothiazolyl, isoxazolyl, pyrazolyl, cyclopropyl, cyclobutyl, cyclopentyl, phenyl, and pyridyl.

19. The compound of claim 16, wherein X is ethylene; the Y ring is a furan; R, S, and T are hydrogen; and G is 3-pyridyl.

20. The compound of claim 19, which is bis-[2-(5-pyridin-3-yl-furan-2-yl)-ethyl]-amine or bis-[2-(5-pyridin-3-yl-thiophen-2-yl)-ethyl]-amine.

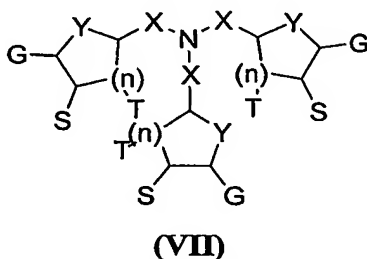
21. The compound of claim 1 having Formula VI:



wherein G is the A, B ring system defined as in claim 1; X is a saturated carbon chain from C<sub>2</sub>-C<sub>8</sub>; and Y is a carbon, oxygen, sulfur, nitrogen or phosphorus atom.

22. The compound of claim 21, wherein X is ethylene; Y is oxygen, sulfur, or nitrogen; and G is 3-pyridyl.

23. The compound of claim 1 having Formula VII:



wherein G is the A, B ring system defined as in claim 1; X is a saturated carbon chain from C<sub>2</sub>-C<sub>8</sub>; R is alkyl, akenyl, alkynyl, optionally substituted aryl, or aralkyl; and S and T are independently selected from the group consisting of hydrogen, aminoalkyl, aralkyl, aryl, heteroaryl, heteroaralkyl, heteroaralkyloxy, aroyl, aroylalkyl, aryloxy, aryloxyalkyl, hydrido, alkyl, alkenyl, alkynyl, alkoxy, alkoxyalkyl, acyl, acylalkyl, acyloxy, acyloxyalkyl, halo, haloalkyl, cyano, cyanoalkyl, nitro, nitroalkyl, carboxyl, carboxylalkyl, amino, aminoalkyl, aminocarbonyl, aminocarbonylalkyl, carbamoylalkyl, carbamoylalkoxy, iminoalkyl, imidoalkyl, alkoxycarbonyl, alkoxycarbonylalkyl, alkylamino, alkylaminoalkyl, dialkylamino, dialkylaminoalkyl, arylamino, arylaminoalkyl, hydroxy, hydroxyalkyl, isocyano, isocyanoalkyl, isothiocyano, isothiocyanoalkyl, oximinoalkoxy, morpholino, morpholinoalkyl, azido, azidoalkyl, formyl, formylalkyl, alkylthio, alkylthioalkyl,

alkylsulfinyl, alkylsulfinylalkyl, alkylsulfonyl, alkylsulfonylalkyl, aminosulfonyl, arylsulfonyl, and N-alkyl-N-arylaminosulfonyl;

wherein the aryl of S and/or T is optionally substituted and is selected from the group consisting of phenyl, biphenyl, naphthyl, 5-membered heteroaryl, and 6-membered heteroaryl.

24. The compound of claim 23, wherein the heterocycles which make up the Y ring system of Formula VII including the S and T substituents are selected from the group consisting of pyrrolidine, piperidine, piperazine, heptamethyleneimine, hexamethyleneimine, homopiperazine, perhydroindole, azetidine, 4-piperidinopiperidine, 1-azacycloheptane, imidazolyl, perhydroisoquinoline, decahydroquinoline, 1-phenylpiperazine, 4-phenylpiperidine, 1-(fluorophenyl)piperazine, 1,3,5-hexa-hydrotriazine, morpholine, phenylmorpholine, thiomorpholine, tetrahydrothiophene, thiazolidine,  $\omega$ -thiocaprolactam, 1,4-thioxane, 1,3-dithiane, 1,4,7-trithiacyclononane, 1,3,5-trithiane, tetrahydrofuran, tetramethyleneoxide, tetrahydropyran, 1,3,5-trioxane, and oxepane, and optionally have one or two ring hydrogens substituted with substituents selected from the group consisting of Cl, Br, I, -OR<sub>4</sub>, -R<sub>5</sub>, -OC(O)R<sub>6</sub>, OC(O)NR<sub>7</sub>R<sub>8</sub>, -C(O)R<sub>9</sub>, -CN, -NR<sub>10</sub>R<sub>11</sub>, -SR<sub>12</sub>, -S(O)R<sub>11</sub>, -S(O)<sub>2</sub>R<sub>14</sub>, -C(O)OR<sub>15</sub>, -S(O)<sub>2</sub>NR<sub>16</sub>R<sub>17</sub>; and -R<sub>18</sub>NR<sub>19</sub>R<sub>20</sub>, wherein R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub>, R<sub>11</sub>, R<sub>12</sub>, R<sub>13</sub>, R<sub>14</sub>, R<sub>15</sub>, R<sub>16</sub>, R<sub>17</sub>, R<sub>18</sub>, R<sub>19</sub>, and R<sub>20</sub> are the same or different and are branched or unbranched alkyl groups from one to eight carbon atoms or hydrogen radicals.

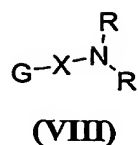
25. The compound of claim 23, wherein the Y ring system is a radical selected from the group consisting of pyranyl, furyl, tetrahydrofuryl, tetrahydrothienyl, thienyl, oxazolyl, pyrrolyl, thiazolyl, imidazolyl, isothiazolyl, isoxazolyl, pyrazolyl, cyclopropyl, cyclobutyl, cyclopentyl, phenyl, and pyridyl.

26. The compound of claim 23, wherein X is ethylene; the Y ring is a furan; S and T are hydrogen; and G is 3-pyridyl.

27. The compound of claim 26, which is tris-[2-(5-pyridin-3-yl-furan-2-yl)-ethyl]-amine or tris-[2-(5-pyridin-3-yl-thiophen-2-yl)-ethyl]-amine.



28. The compound of claim 1 having Formula VIII:

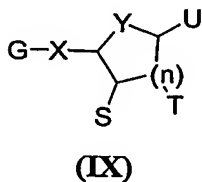


wherein G is the A, B ring system defined as in claim 1; R is alkyl, alkenyl, alkynyl, optionally substituted aryl, or aralkyl; and X is selected from the group consisting of aminoalkyl, aralkyl, aryl, heteroaryl, heteroaralkyl, heteroaralkyloxy, aroyl, aroylalkyl, aryloxy, aryloxyalkyl, hydrido, alkyl, alkenyl, alkynyl, alkoxy, alkoxyalkyl, acyl, acylalkyl, acyloxy, acyloxyalkyl, halo, haloalkyl, cyano, cyanoalkyl, nitro, nitroalkyl, carboxyl, carboxylalkyl, amino, aminoalkyl, aminocarbonyl, aminocarbonylalkyl, carbamoylalkyl, carbamoylalkoxy, iminoalkyl, imidoalkyl, alkoxycarbonyl, alkoxycarbonylalkyl, alkylamino, alkylaminoalkyl, dialkylamino, dialkylaminoalkyl, arylamino, arylaminoalkyl, hydroxy, hydroxyalkyl, isocyano, isocyanoalkyl, isothiocyano, isothiocyanoalkyl, oximinoalkoxy, morpholino, morpholinoalkyl, azido, azidoalkyl, formyl, formylalkyl, alkylthio, alkylthioalkyl, alkylsulfinyl, alkylsulfinylalkyl, alkylsulfonyl, alkylsulfonylalkyl, aminosulfonyl, arylsulfonyl, and N-alkyl-N-arylaminoalkyl; wherein the aryl of X is selected from phenyl, biphenyl, naphthyl, 5-membered heteroaryl, and 6-membered heteroaryl and is optionally substituted.

29. The compound of claim 28, wherein X is prop-2-ynyl, R is hydrogen, and G is 3-pyridyl.

30. The compound of claim 29, which is 3-pyridin-3-yl-prop-2-ynylamine.

31. The compound of claim 1 having Formula IX:



wherein G is the A, B ring system defined as in claim 1; X is a saturated carbon chain from C<sub>2</sub>-C<sub>8</sub>, alkyl, akenyl, alkynyl, optionally substituted aryl, or aralkyl; R is alkyl, akenyl, alkynyl, optionally substituted aryl, or aralkyl; and S, T and U are independently selected from the group consisting of hydrogen, aminoalkyl, aralkyl, aryl, heteroaryl, heteroaralkyl, heteroaralkyloxy, aroyl, aroylalkyl, aryloxy, aryloxyalkyl, hydrido, alkyl, alkenyl, alkynyl, alkoxy, alkoxyalkyl, acyl, acylalkyl, acyloxy, acyloxyalkyl, halo, haloalkyl, cyano, cyanoalkyl, nitro, nitroalkyl, carboxyl, carboxylalkyl, amino, aminoalkyl, aminocarbonyl, aminocarbonylalkyl, carbamoylalkyl, carbamoylalkoxy, iminoalkyl, imidoalkyl, alkoxycarbonyl, alkoxycarbonylalkyl, alkylamino, alkylaminoalkyl, dialkylamino, dialkylaminoalkyl, arylamino, arylaminoalkyl, hydroxy, hydroxyalkyl, isocyano, isocyanoalkyl, isothiocyano, isothiocyanoalkyl, oximinoalkoxy, morpholino, morpholinoalkyl, azido, azidoalkyl, formyl, formylalkyl, alkylthio, alkylthioalkyl, alkylsulfinyl, alkylsulfinylalkyl, alkylsulfonyl, alkylsulfonylalkyl, aminosulfonyl, arylsulfonyl, N-alkyl-N-arylaminosulfonyl;

wherein the aryl of S, T and/or U is optionally substituted and is selected from the group consisting of phenyl, biphenyl, naphthyl, 5-membered heteroaryl, and 6-membered heteroaryl.

32. The compound of claim 31, wherein the heterocycle which makes up the Y ring system including the S, T and U substituents is selected from the group consisting of pyrrolidine, piperidine, piperazine, heptamethyleneimine, hexamethyleneimine, homopiperazine, perhydroindole, azetidine, 4-piperidinopiperidine, 1-azacycloheptane, imidazolyl, perhydroisoquinoline, decahydroquinoline, 1-phenylpiperazine, 4-phenylpiperidine, 1-(fluorophenyl)piperazine, 1,3,5-hexa-hydrotriazine, morpholine, phenylmorpholine, thiomorpholine, tetrahydrothiophene, thiazolidine, ω-thiocaprolactam, 1,4-thioxane, 1,3-dithiane, 1,4,7-trithiacyclononane, 1,3,5-trithiane, tetrahydrofuran, tetramethyleneoxide, tetrahydropyran, 1,3,5-trioxane, and oxepane, and optionally has one or two ring hydrogens substituted with substituents selected from the group consisting of Cl, Br, I, -OR<sub>4</sub>, -R<sub>5</sub>, -OC(O)R<sub>6</sub>, OC(O)NR<sub>7</sub>R<sub>8</sub>, -C(O)R<sub>9</sub>, -CN, -NR<sub>10</sub>R<sub>11</sub>, -SR<sub>12</sub>, -S(O)R<sub>11</sub>, -S(O)<sub>2</sub>R<sub>14</sub>, -C(O)OR<sub>15</sub>, -S(O)<sub>2</sub>NR<sub>16</sub>R<sub>17</sub>; and -R<sub>18</sub>NR<sub>19</sub>R<sub>20</sub>, wherein R<sub>4</sub>, R<sub>5</sub>, R<sub>6</sub>, R<sub>7</sub>, R<sub>8</sub>, R<sub>9</sub>, R<sub>10</sub>, R<sub>11</sub>, R<sub>12</sub>, R<sub>13</sub>, R<sub>14</sub>, R<sub>15</sub>, R<sub>16</sub>, R<sub>17</sub>, R<sub>18</sub>, R<sub>19</sub>, and R<sub>20</sub> are the same or different and are branched or unbranched alkyl groups from one to eight carbon atoms or hydrogen radicals.

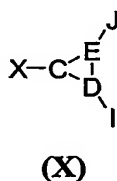
33. The compound of claim 31, wherein the Y ring system is a radical selected from the group consisting of pyranyl, furyl, tetrahydrofuryl, tetrahydrothienyl, thienyl, oxazolyl, pyrrolyl, thiazolyl, imidazolyl, isothiazolyl, isoxazolyl, pyrazolyl, cyclopropyl, cyclobutyl, cyclopentyl, phenyl, and pyridyl.

5 34. The compound of claim 31, wherein X is ethynyl; the Y ring is a substituted or unsubstituted thiophene; S, T and U are hydrogen; and G is 3-pyridyl.

35. The compound of claim 34, which is 3-thiophen-2-ylethynyl-pyridine or 3-(3-methyl-thiophen-2-ylethynyl)-pyridine.

10 36. The compound of claim 34, which is 3-thiophen-2-ylethenyl-pyridine or 3-[2-(3-methyl-thiophen-2-yl)-vinyl]-pyridine.

37. The compound of claim 1 having Formula X:



wherein C, D and E constitute a 3-membered ring containing carbon, oxygen, sulfur, nitrogen, or phosphorus; X is the A, B ring system defined as in claim 1; and I and J are defined as in claim 1.

15 38. The compound of claim 37, wherein C, D and E are each carbon and I, J and X are independently selected from the group consisting of aminoalkyl, alkylaminoalkyl, arylaminoalkyl, dialkylaminoalkyl, aryl, aralkyl, heteroaryl, heteroaralkyl, alkyl, haloalkyl, cyanoalkyl, iminoalkyl, imidoalkyl, isothiocyanoalkyl, morpholinoalkyl, azidoalkyl, and formylalkyl.

20 39. The compound of claim 38, which is 2-pyridin-3-yl-cyclopropylamine.

40. The compound of claim 37, wherein C and D are each carbon; E is oxygen, sulfur, or nitrogen; and I, J and X are independently selected from the group consisting of aminoalkyl, alkylaminoalkyl, arylaminoalkyl, dialkylaminoalkyl, aryl, aralkyl,

heteroaryl, heteroaralkyl, alkyl, haloalkyl, cyanoalkyl, iminoalkyl, imidoalkyl, isothiocyanoalkyl, morpholinoalkyl, azidoalkyl, and formylalkyl.

41. A pharmaceutical composition comprising:

- 5 (a) the compound of claim 1, or a pharmaceutically acceptable salt, ester, or amide thereof, and  
(b) a pharmaceutically acceptable carrier.

42. A method for treating nicotine addiction in a subject comprising:  
administering to a subject suffering from nicotine addiction an effective

- 10 amount of a compound having the formula set forth in claim 1, or a pharmaceutically acceptable salt, ester, or amide thereof, wherein said compound selectively inhibits CYP2A6.

43. The method of claim 42, wherein the agent is a dual inhibitor of CYP2A6 and CYP2A13.

44. The method of claim 42, wherein the agent selectively modulates  $\alpha$ - and/or  $\alpha/\beta$ -nicotinic acetylcholine receptors (nAChR).

- 15 45. The method of claim 42, wherein the agent is  $\beta$ -nicotine.

46. A method for reducing the risk of developing cancer in a subject comprising:

- administering to the subject an effective amount of a compound having the formula set forth in claim 1, or a pharmaceutically acceptable salt, ester, or amide thereof,  
20 wherein the compound selectively inhibits CYP2A6 and thereby inhibits mutagenic activation of a promutagen metabolized by CYP2A6.

47. The method of claim 46, wherein the promutagen is a tobacco-specific nitrosamine.

- 25 48. The method of claim 47, wherein the tobacco-specific nitrosamine is 4-(methylnitrosamino)-1-(3-pyridyl)-1-butanone (NNK).

49. The method of claim 47, wherein the agent is a dual inhibitor of CYP2A6 and CYP2A13.

50. The method of claim 46, wherein the CYP2A6 inhibitor is 3-(4-methyl-thiophen-3-yl)-pyridine.

51. A method for treating or preventing a neurodegenerative disease in a subject comprising:

5 administering to a subject an effective amount of a compound having the formula set forth in claim 1, or a pharmaceutically acceptable salt, ester, or amide thereof, wherein said compound selectively modulates  $\alpha 7$  nicotinic acetylcholine receptor (nAChR), and wherein said subject is suffering from or is at risk of developing the neurodegenerative disease.

10 52. The method of claim 51, wherein the agent is 3-(1H-imidazol-4-yl)-pyridine, 2-(pyridin-3-yl)cyclopropanamine, bis((5-(pyridin-3-yl)thiophen-2-yl)methyl)amine, or (5-(pyridin-3-yl)furan-2-yl)methanamine.

53. The method of claim 51, wherein the neurodegenerative disease is Alzheimer's Disease.

15 54. A method for enhancing cognition in a subject comprising:  
administering to a subject in need of cognition enhancement an effective amount of a compound having the formula set forth in claim 1, or a pharmaceutically acceptable salt, ester, or amide thereof, wherein said compound selectively stimulates nicotinic acetylcholine receptor (nAChR).

20 55. The method of claim 54, wherein the agent is 3-(4-methyl-thiophen-3-yl)-pyridine.

56. A method for treating or preventing a psychiatric disorder in a subject comprising:

25 administering to a subject an effective amount of a compound having the formula set forth in claim 1, or a pharmaceutically acceptable salt, ester, or amide thereof, wherein said compound selectively modulates nicotinic acetylcholine receptor (nAChR), and wherein said subject is suffering from or is at risk of developing the psychiatric disorder.

57. The method of claim 56, wherein the psychiatric disorder is anxiety and the agent has anxiolytic activity.

58. The method of claim 57, wherein the agent is 3-pyrazol-1-yl-pyridine.

59. The method of claim 56, wherein the psychiatric disorder is attention-deficit disorder (ADD).

60. The method of claim 59, wherein the agent is 3-thiophen-2-yl-pyridine.

5 61. The method of claim 56, wherein the psychiatric disorder is a bipolar disorder.

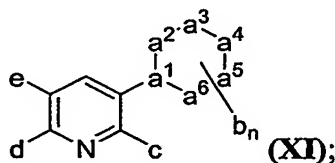
62. The method of claim 61, wherein the agent is [3,3']bipyridinyl.

63. A method for inducing a neuroprotective effect in a subject comprising:

10 administering to the subject an effective amount of an agent that selectively binds nicotinic acetylcholine receptor (nAChR), said agent comprising a compound having the formula set forth in claim 1, wherein said compound selectively modulates nicotinic acetylcholine receptor (nAChR), and wherein said subject is in need of the neuroprotective effect.

15 64. The method of claim 63, wherein the agent is 3-(4-methyl-thiophen-3-yl)-pyridine.

65. A compound having Formula XI:



20 wherein  $a^1$ ,  $a^2$ ,  $a^3$ ,  $a^4$ ,  $a^5$  and  $a^6$  are each independently selected from the group consisting of carbon, nitrogen, oxygen and sulfur, or is absent;

$b_n$  is a substituent selected from the group consisting of hydrogen, methyl, lower alkyl, aminomethyl, *N*-methylaminomethyl, benzyl, oximino, amino, nitro, ethyl, formyl, bromomethyl, heteroarylaminomethyl, heteroaryl, 3-(3-methylthienyl)pyridyl, 2-(3-methyl)thienyl, 3-thienyl,  $\text{CH}_3(\text{C}=\text{O})-$ , *N,N*-dimethylaminomethyl, aminopropyl, 25 hydroxymethyl, pyridyl and oxo; or alternatively, any two substituents adjacent to each other

on the 5- or 6-membered ring may be taken together with the atoms to which they are attached to form a 5- or 6- membered aryl or heteroaryl ring system;

n is an integer from 0 to 10;

c is hydrogen or amino;

5 d is selected from the group consisting of hydrogen, fluoro, methoxy, amino and chloro; and

e is a substituent selected from the group consisting of hydrogen, methyl, 2-(3-methyl)thienyl,  $\text{CH}_3\text{O}(\text{C}=\text{O})-$ , bromo, ethynyl, 3-thienyl and hydroxymethyl.

10 66. The compound of claim 65, wherein  $\text{a}^1$ ,  $\text{a}^2$ ,  $\text{a}^3$ ,  $\text{a}^4$ ,  $\text{a}^5$  and  $\text{a}^6$  are part of a 5- or 6- membered, unsaturated or partially unsaturated, ring system.

67. The compound of claim 65, wherein  $\text{a}^1$  and  $\text{a}^2$  are carbon and  $\text{a}^3$ ,  $\text{a}^4$ ,  $\text{a}^5$  and  $\text{a}^6$  are absent.

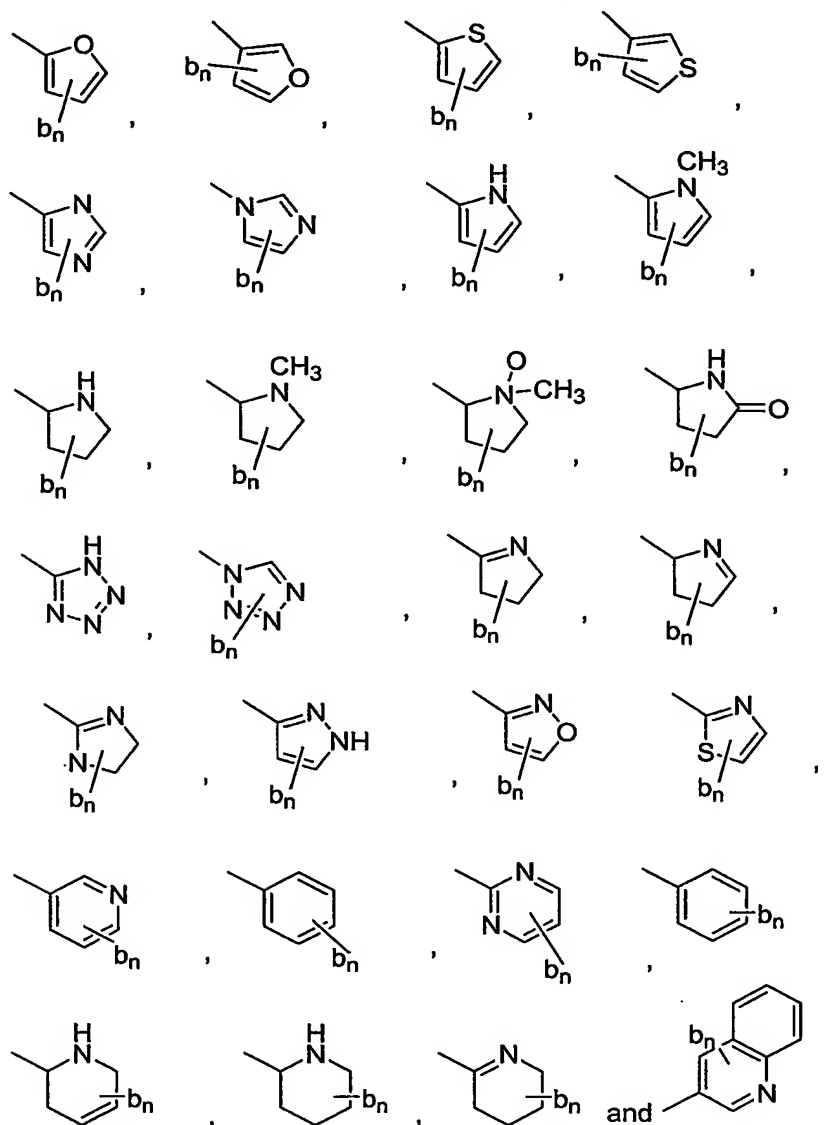
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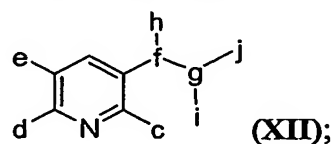
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68. The compound of claim 65 wherein,  $a^1$ ,  $a^2$ ,  $a^3$ ,  $a^4$ ,  $a^5$  and  $a^6$  form a 5- or 6-member ring system selected from the group consisting of



69. A compound having Formula XII:



wherein f and g are each carbon or nitrogen atom; and wherein f and g are connected to each other by a single, double or triple bond;



h and i are each independently hydrogen, lower alkyl group, or is absent;  
wherein h and i together with the atoms to which they attached may optionally be combined  
to form a 3- to 5- membered ring;

j is selected from the group consisting of aminomethyl,

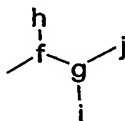
- 5 N-methylaminomethyl, amino, 2-(3-methyl)thienyl, 3-thienyl, N,N-dimethylaminomethyl, heteroaryl and 3-(3-methylthienyl)pyridyl;

c is hydrogen or amino;

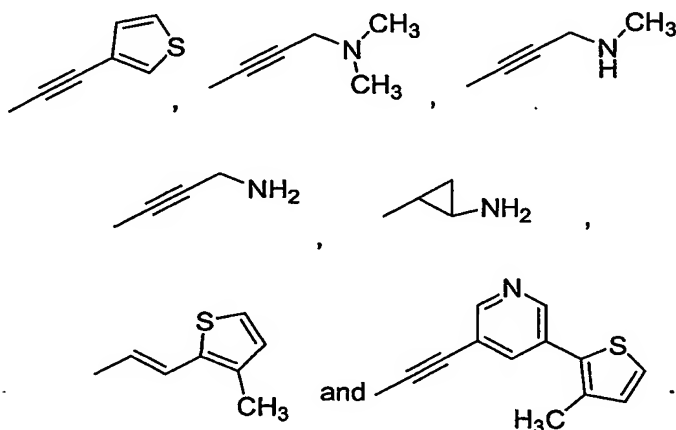
d is selected from the group consisting of hydrogen, fluoro, methoxy, amino  
and chloro; and

- 10 e is a substituent selected from the group consisting of hydrogen, methyl, 2-(3-methylthienyl),  $\text{CH}_3\text{O}(\text{C}=\text{O})-$ , bromo, ethynyl, 3-thienyl and hydroxymethyl.

70. The compound of claim 69, wherein the fragment



of Formula XII is selected from the group consisting of:



15

71. A pharmaceutical composition comprising:

(a) the compound of claim 65 or 69, or a pharmaceutically acceptable salt, ester, or amide thereof, and

(b) a pharmaceutically acceptable carrier.

20

72. A method for treating nicotine addiction in a subject comprising:  
administering to a subject suffering from nicotine addiction an effective  
amount of a compound having the formula set forth in claim 65 or 69, or a pharmaceutically  
acceptable salt, ester, or amide thereof, wherein said compound selectively inhibits CYP2A6.

5 73. The method of claim 72, wherein the agent is a dual inhibitor of  
CYP2A6 and CYP2A13.

74. The method of claim 72, wherein the agent selectively modulates  $\alpha$ -  
and/or  $\alpha/\beta$ -nicotinic acetylcholine receptors (nAChR).

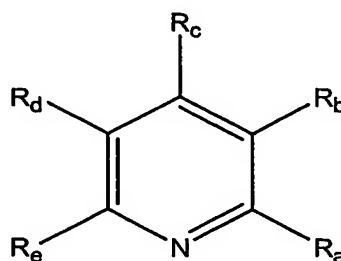
10 75. A method for reducing the risk of developing cancer in a subject  
comprising:  
administering to the subject an effective amount of a compound having the  
formula set forth in claim 65 or 69, or a pharmaceutically acceptable salt, ester, or amide  
thereof, wherein the compound selectively inhibits CYP2A6 and thereby inhibits mutagenic  
activation of a promutagen metabolized by CYP2A6.

15 76. The method of claim 75, wherein the promutagen is a tobacco-specific  
nitrosamine.

77. The method of claim 75, wherein the tobacco-specific nitrosamine is 4-  
(methylnitrosamino)-1-(3-pyridyl)-1-butanone (NNK).

20 78. The method of claim 75, wherein the agent is a dual inhibitor of  
CYP2A6 and CYP2A13.

79. A compound having Formula XIII:



(XIII)

wherein  $R_a$ ,  $R_c$ , and  $R_e$  are independently selected from the group consisting of hydrogen, (C1-C6)alkyl, (C1-C6)alkenyl, (C1-C6)alkynyl, heteroalkyl, halo, (C1-C6)alkoxy, amino, (C1-C6)alkylamino, hydroxy, cyano, and nitro;

5  $R_b$  is a 5- or 6-member unsaturated, partially unsaturated or saturated heterocyclic and carbocyclic ring system; wherein the  $R_b$  ring system is optionally substituted with hydrido, acyl, halo, lower acyl, lower haloalkyl, oxo, cyano, nitro, carboxyl, amino, lower alkoxy, aminocarbonyl, lower alkoxy carbonyl, alkylamino, arylamino, lower carboxyalkyl, lower cyanoalkyl, lower hydroxyalkyl, alkylthio, alkyl sulfinyl and aryl, lower  
10 aralkylthio, lower alkylsulfinyl, lower alkylsulfonyl, aminosulfonyl, lower N-arylaminosulfonyl, lower arylsulfonyl, lower N-alkyl-N-arylaminosulfonyl; in which the above aryl member is selected from phenyl, biphenyl, naphthyl, or 5- or 6-membered heteroaryl; wherein the above aryl member is optionally substituted with one, two, or three substituents selected from halo, hydroxyl, amino, nitro, cyano, carbamoyl, lower alkyl, lower  
15 alkenyloxy, lower alkoxy, lower alkylthio, lower alkylsulfinyl, lower alkylsulfonyl, lower alkylamino, lower dialkylamino, lower haloalkyl, lower alkoxy carbonyl, lower N-alkylcarbamoyl, lower N,N-dialkylcarbamoyl, lower alkanoylamino, lower cyanoalkoxy, lower carbamoylalkoxy, and lower carbonylalkoxy; and wherein the above acyl group is optionally substituted with a substituent selected from hydrido, alkyl, halo, and alkoxy; and  
20  $R_d$  is independently selected from a group as defined for  $R_a$  and  $R_b$ .

80. The compound of claim 79, wherein the  $R_b$  radical has one or two ring hydrogens substituted with substituents selected from Cl, Br, I,  $-OR_4$ ,  $-R_5$ ,  $-OC(O)R_6$ ,  $OC(O)NR_7R_8$ ,  $-C(O)R_9$ ,  $-CN$ ,  $-NR_{10}R_{11}$ ,  $-SR_{12}$ ,  $-S(O)R_{11}$ ,  $-S(O)_2R_{14}$ ,  $-C(O)OR_{15}$ ,  $-S(O)_2NR_{16}R_{17}$ ; and  $-R_{18}NR_{19}R_{20}$ , wherein  $R_4$ ,  $R_5$ ,  $R_6$ ,  $R_7$ ,  $R_8$ ,  $R_9$ ,  $R_{10}$ ,  $R_{11}$ ,  $R_{12}$ ,  $R_{13}$ ,  $R_{14}$ ,  $R_{15}$ ,  
25  $R_{16}$ ,  $R_{17}$ ,  $R_{18}$ ,  $R_{19}$ , and  $R_{20}$  are the same or different and are branched or unbranched alkyl groups from one to eight carbon atoms or hydrogen radicals.

81. A pharmaceutical composition comprising:

- (a) the compound of claim 80, or a pharmaceutically acceptable salt, ester, or amide thereof, and
- 30 (b) a pharmaceutically acceptable carrier.

82. A method for treating nicotine addiction in a subject comprising:  
administering to a subject suffering from nicotine addiction an effective  
amount of a compound having the formula set forth in claim 80, or a pharmaceutically  
acceptable salt, ester, or amide thereof, wherein said compound selectively inhibits CYP2A6.

5 83. The method of claim 82, wherein the agent is a dual inhibitor of  
CYP2A6 and CYP2A13.

84. The method of claim 82, wherein the agent selectively modulates  $\alpha/\beta$   
nicotinic acetylcholine receptor (nAChR).

10 85. A method for reducing the risk of developing cancer in a subject  
comprising:  
administering to the subject an effective amount of a compound having the  
formula set forth in claim 80, or a pharmaceutically acceptable salt, ester, or amide thereof,  
wherein the compound selectively inhibits CYP2A6 and thereby inhibits mutagenic  
activation of a promutagen metabolized by CYP2A6.

15 86. The method of claim 85, wherein the promutagen is a tobacco-specific  
nitrosamine.

87. The method of claim 86, wherein the tobacco-specific nitrosamine is  
4-(methylnitrosamino)-1-(3-pyridyl)-1-butanone (NNK).

20 88. The method of claim 85, wherein the agent is a dual inhibitor of  
CYP2A6 and CYP2A13.